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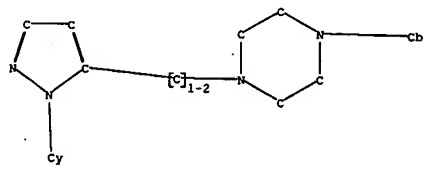

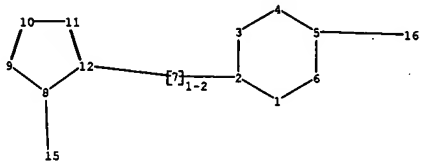

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ring nodes :
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chain bonds :
2-7 5-16 7-12 8-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 8-9 8-12 8-15 9-10
exact bonds :
5-16 7-12 10-11 11-12
isolated ring systems :
containing 1 : 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 15:Atom 16:Atom
Generic attributes :
16:
Saturation : Unsaturated

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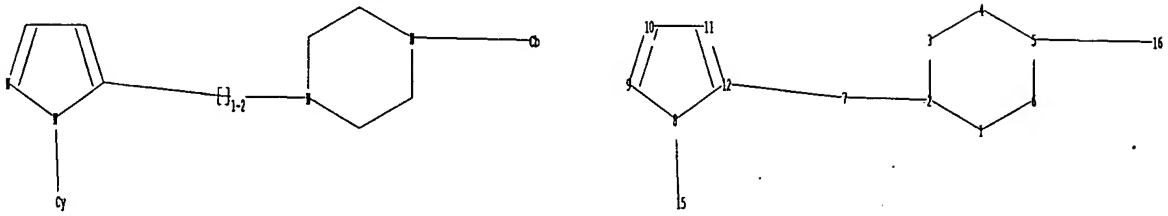

chain nodes :
7 15 16
ring nodes :
1 2 3 4 5 6 8 9 10 11 12
chain bonds :
2-7 5-16 7-12 8-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 8-9 8-12 8-15 9-10
exact bonds :
5-16 7-12 10-11 11-12
isolated ring systems :
containing 1 : 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 15:Atom 16:Atom
Generic attributes :
16:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 226 TO ITERATE

100.0% PROCESSED 226 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3619 TO 5421
PROJECTED ANSWERS: 187 TO 773

L2 24 SEA SSS SAM L1

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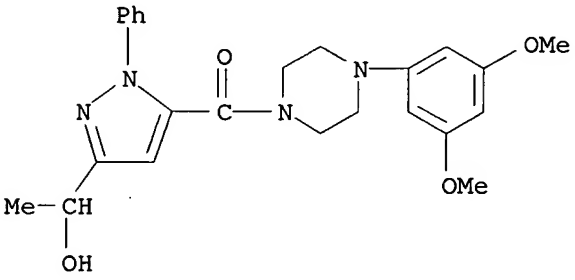
L2 ANSWER 1 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN
RN 756753-21-6 REGISTRY
ED Entered STN: 04 Oct 2004
CN Piperazine, 1-(3,5-dimethoxyphenyl)-4-[[3-(1-hydroxyethyl)-1-phenyl-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN [4-(3,5-Dimethoxyphenyl)piperazin-1-yl][5-(1-hydroxyethyl)-2-phenyl-2H-pyrazol-3-yl]methanone
MF C24 H28 N4 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

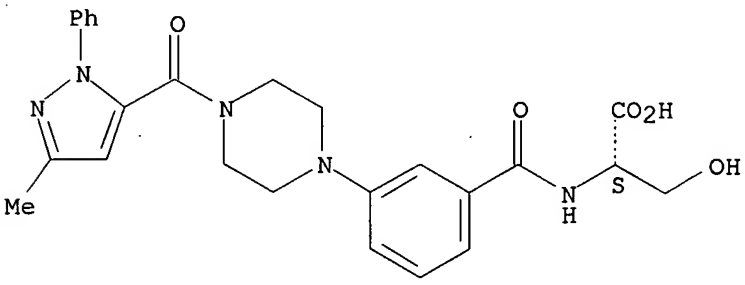


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN
RN 756751-46-9 REGISTRY
ED Entered STN: 04 Oct 2004
CN L-Serine, N-[3-[4-[(3-methyl-1-phenyl-1H-pyrazol-5-yl)carbonyl]-1-piperazinyl]benzoyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Hydroxy-2-[3-[4-[(5-methyl-2-phenyl-2H-pyrazol-3-yl)carbonyl]piperazin-1-yl]benzoylamino]propanoic acid
FS STEREOSEARCH
MF C25 H27 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

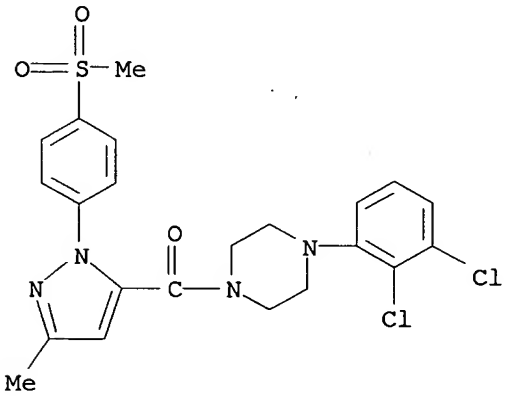
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN
RN 729606-48-8 REGISTRY
ED Entered STN: 21 Aug 2004
CN Piperazine, 1-(2,3-dichlorophenyl)-4-[[3-methyl-1-[4-(methylsulfonyl)phenyl]-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN [4-(2,3-Dichlorophenyl)piperazin-1-yl][2-[4-(methanesulfonyl)phenyl]-5-methyl-2H-pyrazol-3-yl]methanone
MF C22 H22 Cl2 N4 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FULL SCREEN SEARCH COMPLETED - 4560 TO ITERATE

100.0% PROCESSED 4560 ITERATIONS 447 ANSWERS
SEARCH TIME: 00.00.01

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ANSWER SET L3 HAS BEEN SAVED AS 'TEN764653/A'

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 178.80 179.22

FILE 'CAPLUS' ENTERED AT 17:22:01 ON 16 NOV 2006

FILE COVERS 1907 - 16 Nov 2006 VOL 145 ISS 21
FILE LAST UPDATED: 15 Nov 2006 (20061115/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

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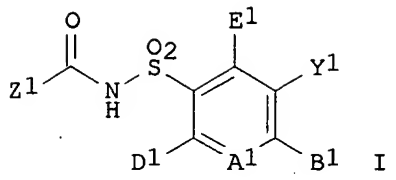
L4 9 L3

=> d l4 1-9 bib abs fhitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:579497 CAPLUS
DN 145:62925
TI Preparation of N-acylsulfonamide apoptosis promoters
IN Bruncko, Milan; Ding, Hong; Elmore, Steven; Kunzer, Aaron; Lynch, Christopher L.; Mcclellan, William; Park, Cheol-Min; Petros, Andrew; Song, Xiaohong; Wang, Xilu; Tu, Noah; Wendt, Michael
PA USA
SO U.S. Pat. Appl. Publ., 142 pp., Cont.-in-part of Ser. No. US 2004-988338, filed on 12 Nov 2004 which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006128706	A1	20060615	US 2005-127940	20050512
	US 2005159427	A1	20050721	US 2004-988338	20041112
PRAI	US 2003-519695P	P	20031113		
	US 2004-988338	A2	20041112		

OS MARPAT 145:62925
GI



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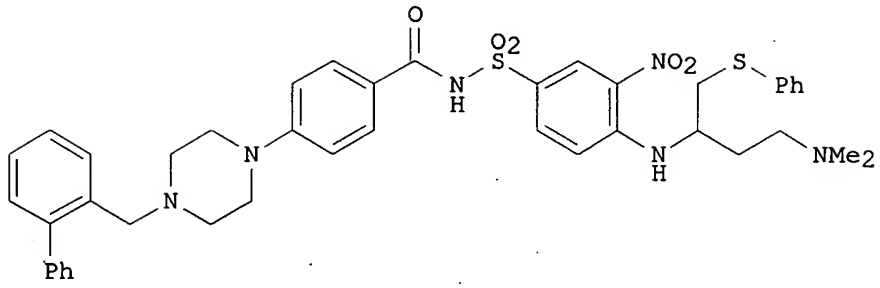


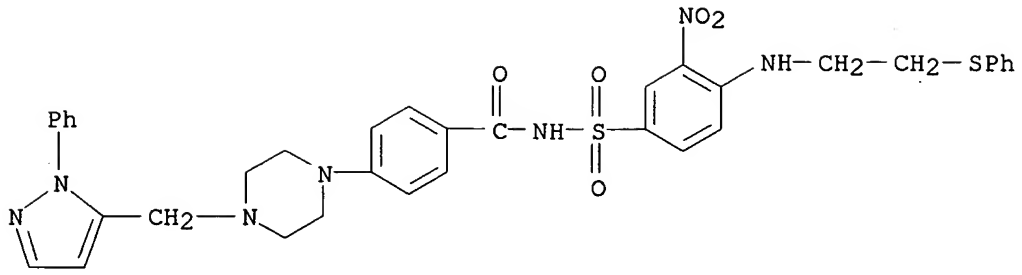
II

AB Disclosed are N-acylsulfonamide compds. I [A1 = N, CA2; one or two or three or each of A2, B1, D1 and E1 = R1, OR1, SR1, NHR1, etc., and the remainder = H, halo, CN, etc.; Y1 = H, CN, NO2, CO2H, etc.; or B1 and Y1, together with the atoms to which they are attached, = imidazole or triazole; one or two or each of A2, D1 and E1 = R1, OR1, SR1, etc., and the remainder = H, halo, CF3, etc.; R1 = Ph (un)fused with (hetero)arene, heteroaryl (un)fused with (hetero)arene, etc.; Z1 = substituted Ph (un)fused with (hetero)arene, heteroaryl (un)fused with (hetero)arene] which inhibit the activity of anti-apoptotic protein family members, compns. containing the compds. I and uses of the compds. I for preparing medicaments for treating diseases during which occurs expression of one or more than one anti-apoptotic protein family member. Over 460 synthetic examples were presented (no characterization data for intermediates). E.g., a multi-step synthesis of (1R)-II, starting from piperazine and Et 4-fluorobenzoate, was given. The compds. I were found to be inhibitors of anti-apoptotic Bcl-XL protein and anti-apoptotic Bcl-2 (data given).

IT 852809-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylsulfonamide apoptosis promoters)

RN 852809-46-2 CAPLUS

CN Benzamide, N-[[3-nitro-4-[[2-(phenylthio)ethyl]amino]phenyl]sulfonyl]-4-[4-[(1-phenyl-1H-pyrazol-5-yl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:472142 CAPLUS
DN 143:26639
TI Preparation of N-acylsulfonamide apoptosis promoters
IN Bruncko, Milan; Ding, Hong; Elmore, Steven; Kunzer, Aaron R.; Lynch, Christopher L.; Mcclellan, William; Park, Cheol-Min; Petros, Andrew; Song, Xiaohong; Wang, Xilu; Tu, Noah; Wendt, Michael D.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 507 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005049594	A1	20050602	WO 2004-US37911	20041112
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004290666	A1	20050602	AU 2004-290666	20041112
	CA 2546101	AA	20050602	CA 2004-2546101	20041112
	EP 1685119	A1	20060802	EP 2004-810896	20041112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRAI	US 2003-519695P	P	20031113		
	WO 2004-US37911	W	20041112		

OS MARPAT 143:26639
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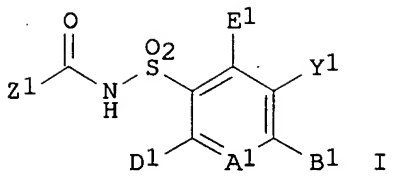

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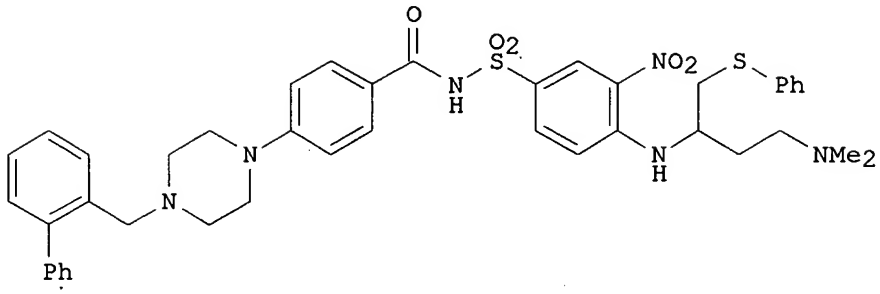

II

AB Disclosed are N-acylsulfonamide compds. I [A1 = N, CA2; one or two or three or each of A2, B1, D1 and E1 = R1, OR1, SR1, NHR1, etc., and the remainder = H, halo, CN, etc.; Y1 = H, CN, NO2, CO2H, etc.; or B1 and Y1, together with the atoms to which they are attached, = imidazole or triazole; one or two or each of A2, D1 and E1 = R1, OR1, SR1, etc., and the remainder = H, halo, CF3, etc.; R1 = Ph (un)fused with (hetero)arene, heteroaryl (un)fused with (hetero)arene, etc.; Z1 = substituted Ph (un)fused with (hetero)arene, heteroaryl (un)fused with (hetero)arene] which inhibit the activity of anti-apoptotic protein family members, compns. containing the compds. I and uses of the compds. I for preparing medicaments for treating diseases during which occurs expression of one or more than one anti-apoptotic protein family member. Over 450 synthetic examples were presented (no characterization data for intermediates). E.g., a multi-step synthesis of (1R)-II, starting from piperazine and Et 4-fluorobenzoate, was given. The compds. I were found to be inhibitors of anti-apoptotic Bcl-XL protein and anti-apoptotic Bcl-2 (data given).

IT 852809-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
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RN 852809-46-2 CAPLUS

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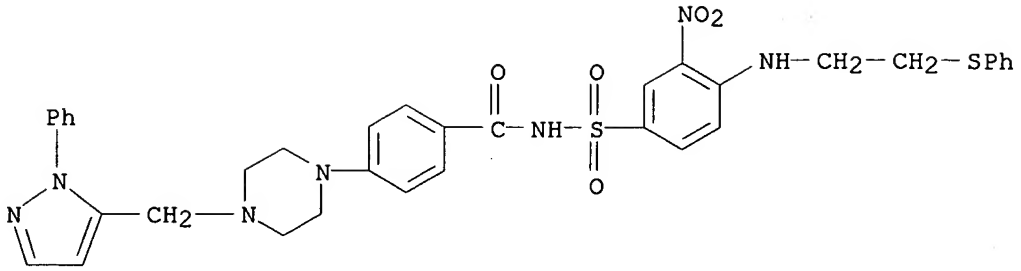

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:472141 CAPLUS
DN 143:26638
TI Preparation of N-acylsulfonamide apoptosis promoters
IN Bruncko, Milan; Elmore, Steven; Kunzer, Aaron R.; Lynch, Christopher L.; Wang, Xilu; Wendt, Michael D.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 471 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005049593	A2	20050602	WO 2004-US36770	20041103
	WO 2005049593	A3	20050707		
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2003-519695P	P	20031113		

OS MARPAT 143:26638
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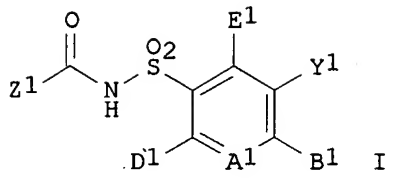

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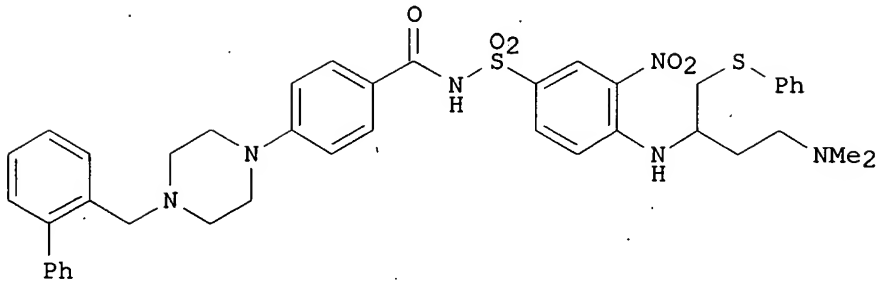

II

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RN 852809-46-2 CAPLUS

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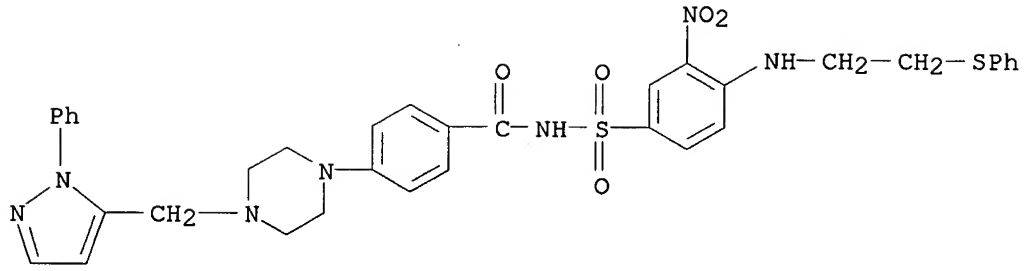

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:756697 CAPLUS
DN 141:260772
TI Preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compositions for treatment of cancer
IN Le-Brun, Alain; Thompson, Fabienne; Tiraboschi, Gilles; Mailliet, Patrick; Salvino, Joseph M.
PA Aventis Pharma S.A., Fr.
SO PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078732	A1	20040916	WO 2004-FR168	20040126
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	AU 2004218260	A1	20040916	AU 2004-218260	20040126
	CA 2512243	AA	20040916	CA 2004-2512243	20040126
	EP 1590329	A1	20051102	EP 2004-705102	20040126
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	JP 2006516656	T2	20060706	JP 2006-505660	20040126
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	FR 2003-13086	A	20031107		
	WO 2004-FR168	W	20040126		

OS MARPAT 141:260772
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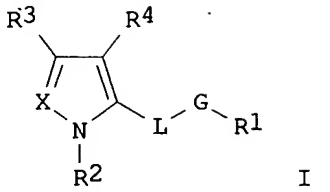

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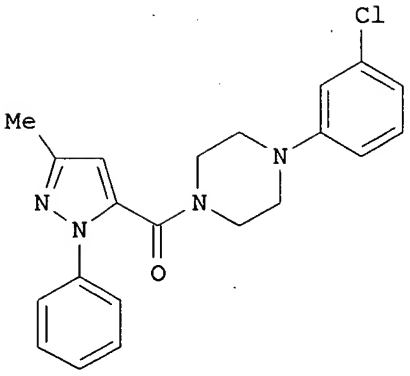


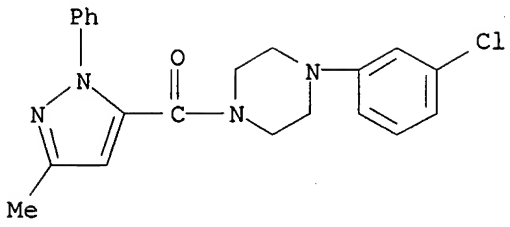
II

AB Title compds. I [wherein R1, R2 = independently (un)substituted hetero/aryl; L = CH2 and derivs., C(:O), C(:S), C:NOH and derivs.; R2 = (C5-C7)cycloalkyl; R3 = independently H, OH and derivs., S(O)nH and derivs., NH2 and derivs., halo, cycloalkylene, (un)substituted hetero/aryl, cycloalkyl, alkyl, etc.; R4 = H, alk(en/yn)yl, cyclopropyl, alkoxy, S-alkyl, F, Cl, Br; n = 0-2; X = N, CH; G = substituted piperazine, piperidine, 1,2,5,6-tetrahydropyridine; their racemics, stereoisomers, tautomers, prodrugs, and pharmaceutically acceptable salts] were prepared as inhibitors of tubulin polymerization and of tumor and endothelial cell proliferation in vitro, and for use in treatment of cancer. A combinatorial library of N-phenylpiperazinyl pyrazolyl ketones is given. For example, II was prepared from 5-methyl-2-phenyl-2H-pyrazole-3-carboxylic acid and 1-(3-chlorophenyl)piperazine. II gave an IC50 of 0.2 μM for inhibition of tubulin polymerization, an IC50 value of 0.002 μM for inhibition of HCT116 cells proliferation, and a 22% detachment of the endothelial HDMEC cells at a concentration of 1 μM. Thus, I and their pharmaceutical compns. are useful for treating cancer (no data).

IT 729605-21-4P, [4-(3-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

RN 729605-21-4 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(3-methyl-1-phenyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)

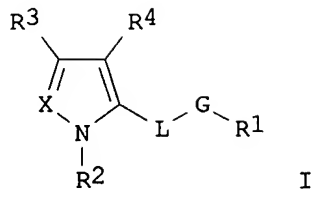


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:611924 CAPLUS
DN 141:157136
TI Preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compositions for treatment of cancer
IN Le Brun, Alain; Thompson, Fabienne; Tiraboschi, Gilles; Salvino, Joseph; Mailliet, Patrick
PA Aventis Pharma SA, Fr.
SO Fr. Demande, 80 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2850379	A1	20040730	FR 2003-894	20030128
	AU 2004218260	A1	20040916	AU 2004-218260	20040126
	CA 2512243	AA	20040916	CA 2004-2512243	20040126
	WO 2004078732	A1	20040916	WO 2004-FR168	20040126
	WO 2004078732	B1	20041028		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005130989	A1	20050616	US 2004-764653	20040126
	EP 1590329	A1	20051102	EP 2004-705102	20040126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2004007088	A	20060124	BR 2004-7088	20040126
	JP 2006516656	T2	20060706	JP 2006-505660	20040126
PRAI	FR 2003-894	A	20030128		
	US 2003-455120P	P	20030317		
	FR 2003-13086	A	20031107		
	WO 2004-FR168	W	20040126		

OS MARPAT 141:157136
GI



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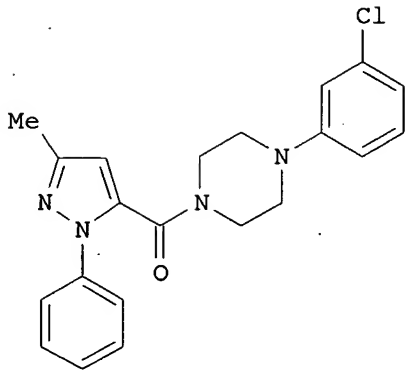


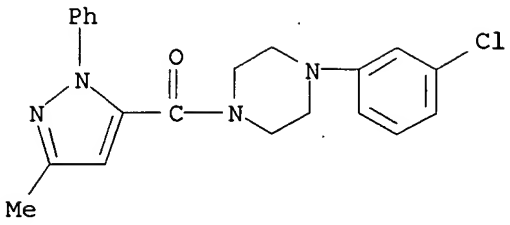
II

AB Title compds. I [wherein R1, R2 = independently (un)substituted hetero/aryl; L = CH2 and derivs., C(:O), C(:S), C:NOH and derivs.; R3, R4 = independently H, alkyl, cycloalkylene, OH and derivs., S(O)nH and derivs., NH2 and derivs., halo, (un)substituted hetero/aryl, cycloalkyl; n = 0-2; X = N, CH; G = substituted piperazine, piperidine, 1,2,5,6-tetrahydropyridine; their racemics, stereoisomers, tautomers, prodrugs, and pharmaceutically acceptable salts] were prepared as inhibitors of tubulin polymerization and of tumor and endothelial cell proliferation in vitro, and for use in treatment of cancer. A combinatorial library of N-phenylpiperazinyl pyrazolyl ketones is given. For example, II was prepared from 5-methyl-2-phenyl-2H-pyrazole-3-carboxylic acid and 1-(3-chlorophenyl)piperazine. II gave an IC50 of 0.2 μM for inhibition of tubulin polymerization, an IC50 value of 0.002 μM for inhibition of HCT116 cells proliferation, and a 22% detachment of the endothelial HDMEC cells at a concentration of 1 μM. Thus, I and their pharmaceutical compns. are useful for treating cancer (no data).

IT 729605-21-4P, [4-(3-Chlorophenyl)piperazin-1-yl](5-methyl-2-phenyl-2H-pyrazol-3-yl)methanone
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

RN 729605-21-4 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(3-methyl-1-phenyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)

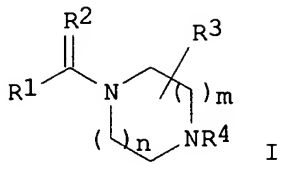


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:20666 CAPLUS
DN 140:77166
TI Preparation of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases
IN Emig, Peter; Gerlach, Matthias; Polymeropoulos, Emmanuel; Mueller, Gilbert; Schmidt, Peter; Baasner, Silke; Guenther, Eckhard
PA Zentaris Gmbh, Germany
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002965	A1	20040108	WO 2003-EP6555	20030620
	W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	AU 2003246571	A1	20040119	AU 2003-246571	20030620
	EP 1517898	A1	20050330	EP 2003-761482	20030620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003012294	A	20050412	BR 2003-12294	20030620
	CN 1665792	A	20050907	CN 2003-815485	20030620
	NZ 537916	A	20051125	NZ 2003-537916	20030620
	JP 2005538968	T2	20051222	JP 2004-516632	20030620
	CA 2433983	AA	20031229	CA 2003-2433983	20030627
	US 2004097734	A1	20040520	US 2003-608520	20030627
	ZA 2004009610	A	20050418	ZA 2004-9610	20041126
	NO 2005000428	A	20050125	NO 2005-428	20050125
PRAI	US 2002-393027P	P	20020629		
	WO 2003-EP6555	W	20030620		

OS MARPAT 140:77166
GI



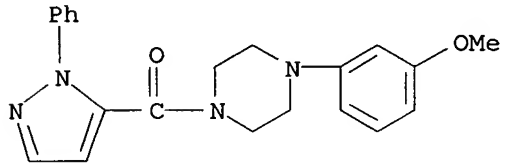
I

AB Title compds. [I; R1 = (substituted) fluoren-9-one, isoxazolyl, cinnolinyl, isothiazolyl, isoquinolinyl, 9H-fluorenyl, 9H-xanthenyl, 1H-pyrazolyl; R2 = O, S; R3 = H, (substituted) alkyl, halo, CO2H, CONH2; R4 = (substituted) (hetero)aryl, alkylaryl, alkylhetaryl; m, n = 0-3], were prepared Thus, 9-fluorenone-4-carbonyl chloride in DMF was successively treated with N-methylmorpholine, 1-(3,5-dimethoxyphenyl)piperazine, and 1-benzotriazolyltripyrrolidinophosphonium hexafluorophosphate followed by stirring for 12 h at room temperature to give 79,3% 4-[4-(3,5-dimethoxyphenyl)piperazine-1-carbonyl]fluoren-9-one. The latter inhibited proliferation in XTT cytotoxicity test in human tumor cells with EC50 = 0,2-0,555 μg/mL.

IT 640286-88-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases)

RN 640286-88-0 CAPLUS

CN Piperazine, 1-(3-methoxyphenyl)-4-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1988:221717 CAPLUS

DN 108:221717

TI Preparation and testing of new aryl-substituted (N-piperidinyl)methyl- and (N-piperazinyl)methylazoles having antipsychotic properties

IN Van Wijngaarden, Ineke; Kruse, Cornelis G.; Van der Heyden, Johannes; Tulp, Martinus T. M.

PA Duphar International Research B. V., Neth.

SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW

DT Patent

LA English

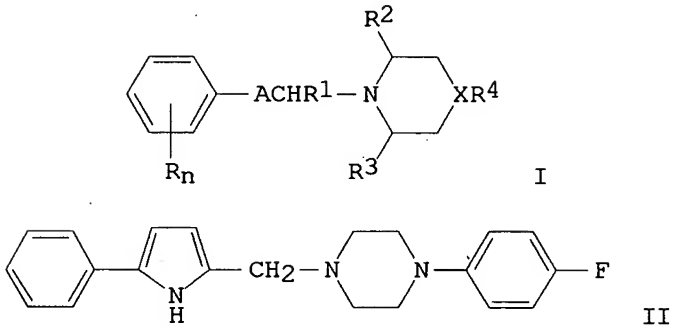
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	EP 241053	A1	19871014	EP 1987-200296	19870224
	EP 241053	B1	19921111		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	NL 8600488	A	19870916	NL 1986-488	19860227
	DK 8700930	A	19870828	DK 1987-930	19870224
	ZA 8701335	A	19870930	ZA 1987-1335	19870224
	US 4772604	A	19880920	US 1987-18164	19870224
	IL 81669	A1	19901129	IL 1987-81669	19870224
	CA 1279645	A1	19910129	CA 1987-530424	19870224
	AT 82281	E	19921115	AT 1987-200296	19870224
	ES 2052545	T3	19940716	ES 1987-200296	19870224
	AU 8769247	A1	19870903	AU 1987-69247	19870225
	AU 585131	B2	19890608		
	JP 62205058	A2	19870909	JP 1987-40530	19870225
	JP 07098800	B4	19951025		
	US 4874770	A	19891017	US 1988-214310	19880701
PRAI	NL 1986-488	A	19860227		
	EP 1987-200296	A	19870224		
	US 1987-18164	A3	19870224		

OS MARPAT 108:221717

GI



I

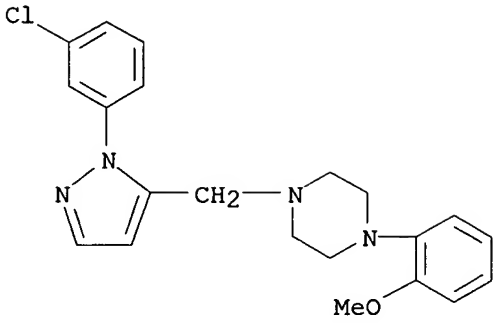
II

AB The title compds. [I; R = alkyl, hydroxyalkyl, alkoxy, alkylthio, OH, amine, acyl, alkoxycarbonyl, NO2, CN, halo, CF3, etc.; R1,R2,R3 = H, alkyl; R4 = (substituted)aryl, heteroaryl, acyl; R5 = H, OH, bond to adjacent carbon; A = 5-membered N-containing heterocyclyl; X = N, CR5; n = 0-4] and salts and prodrugs thereof were prepared as antipsychotics. N-4-(Fluorophenyl)piperazine was stirred with 37% aqueous HCHO in EtOH for 30 min. 2-Phenylpyrrole was added and the mixture was refluxed 4 h to give phenylpiperazinylmethyl pyrrole II. Preferred II bound to dopamine D2 receptors with Ki's of <10 nm.

IT 114518-36-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)

RN 114518-36-4 CAPLUS

CN Piperazine, 1-[[1-(3-chlorophenyl)-1H-pyrazol-5-yl]methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



n Me m Reb

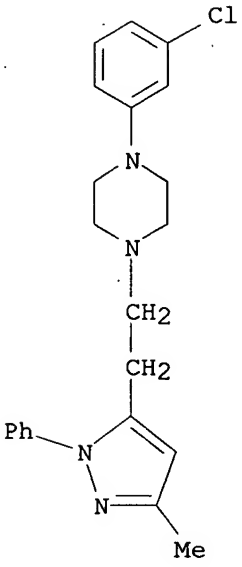
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1974:449701 CAPLUS
DN 81:49701
TI Pyrazole derivatives
IN Hadamik, Harri; Schulte, Karl; Koppe, Volker; Poetsch, Eike
PA Merck Patent G.m.b.H.
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2258033	A1	19740530	DE 1972-2258033	19721127
	JP 49082669	A2	19740808	JP 1973-129311	19731119
	ES 420898	A1	19760401	ES 1973-420898	19731127
PRAI	DE 1972-2258033	A	19721127		

GI For diagram(s), see printed CA Issue.
AB Central depressant piperazinyl-alkylpyrazoles I [R = H, Me, Ph, Ac, Bz, COPr, COCH:CHPh COC6H4NH2-p, COC6H2(OMe)3-3,4,5, CONH2, CONMe2, CO2Et; R1 = Me, H; R2 = substituted phenyl; n = 1-4] and some related piperidinoalkylpyrazoles (67 compds.) were prepared by dehydrogenating II. Thus, I (R = H, R1 = Me, R2 = C6H4Cl-3 n = 2) was obtained by halogenating-dehydrohalogenating II with SO2Cl2. II (R = H, R1 = Me, R2 = C6H4Cl-3, n = 2) was prepared by treating ClCH2CH2COCH:CHMe with N-(m-chloro-phenyl)piperazine and N2H4.
IT 49654-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 49654-35-5 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[2-(3-methyl-1-phenyl-1H-pyrazol-5-yl)ethyl]-, diperchlorate (9CI) (CA INDEX NAME)

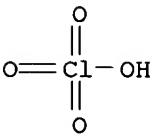
CM 1

CRN 49869-03-6
CMF C22 H25 Cl N4



CM 2

CRN 7601-90-3
CMF Cl H O4



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:492277 CAPLUS
DN 79:92277
TI Arylpiperazines
IN Poetsch, Eike
PA Merck Patent G.m.b.H.
SO Ger. Offen., 38 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2201889	A1	19730719	DE 1972-2201889	19720115
	NL 7215334	A	19730717	NL 1972-15334	19721113
	SE 397530	B	19771107	SE 1972-15765	19721204
	ZA 7208625	A	19730829	ZA 1972-8625	19721205
	AU 7249852	A1	19740613	AU 1972-49852	19721208
	PL 83741	P	19760131	PL 1972-159971	19721229
	GB 1360959	A	19740724	GB 1973-435	19730103

	DK 134177	B	19760927	DK 1973-67	19730105
	US 3926999	A	19751216	US 1973-322184	19730109
	BE 793955	A1	19730712	BE 1973-126376	19730112
	DD 104080	C	19740220	DD 1973-168218	19730112
	HU 165959	P	19741228	HU 1973-ME1592	19730112
	AT 7300262	A	19750715	AT 1973-262	19730112
	AT 329062	B	19760426		
	JP 50004085	A2	19750116	JP 1973-6335	19730113
	FR 2168357	A1	19730831	FR 1973-1270	19730115
	CH 587270	A	19770429	CH 1973-526	19730115
PRAI	DE 1972-2201889	A	19720115		

GI For diagram(s), see printed CA Issue.

AB Pyrazolylalkylpiperazines I (R = H, Me, Ph, Ac, Bz, PrCO, PhCH:CHCO, p-H2NC6H4CO, 3,4,5-(MeO)3C6H2CO, Me2NCO, EtO2C; R1 = H, 2-Cl, 3-Cl, 4-Cl, 3-Me, 4-Me, 3-CF3, 3-CMe3, 4-OMe; n = 1-4) were prepared by cyclizing the appropriate arylpiperazinylalkadienes with N2H4. Thus, MeCCl:CH2 was treated with ClCH2CH2COCl, followed by Et3N to give ClCH:CHCOCH:CH2, which was treated with 1-m-chlorophenylpiperazine to give 1-(4-m-chlorophenylpiperazino)-5-chloro-4-hexen-3-one, and cyclized with N2H4 to I (R = H, R1 = 4-Cl, n = 2).

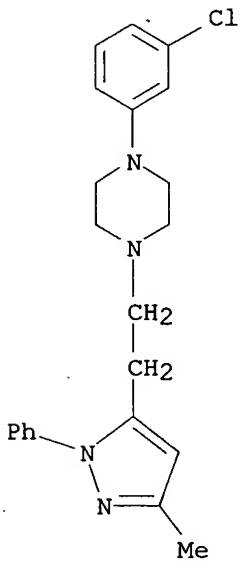
IT 49654-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 49654-35-5 CAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[2-(3-methyl-1-phenyl-1H-pyrazol-5-yl)ethyl]-, diperchlorate (9CI) (CA INDEX NAME)

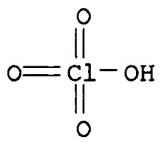
CM 1

CRN 49869-03-6
CMF C22 H25 Cl N4



CM 2

CRN 7601-90-3
CMF Cl H O4



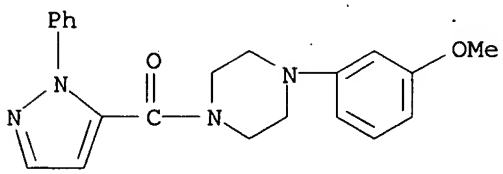
=> d l4 6-9 bib hitstr

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:20666 CAPLUS
DN 140:77166
TI Preparation of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases
IN Emig, Peter; Gerlach, Matthias; Polymeropoulos, Emmanuel; Mueller, Gilbert; Schmidt, Peter; Baasner, Silke; Guenther, Eckhard
PA Zentaris Gmbh, Germany
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

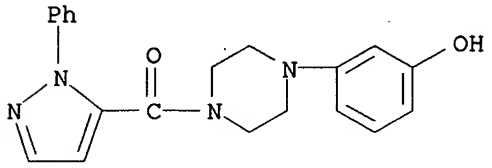
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002965	A1	20040108	WO 2003-EP6555	20030620
	W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	AU 2003246571	A1	20040119	AU 2003-246571	20030620
	EP 1517898	A1	20050330	EP 2003-761482	20030620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003012294	A	20050412	BR 2003-12294	20030620
	CN 1665792	A	20050907	CN 2003-815485	20030620
	NZ 537916	A	20051125	NZ 2003-537916	20030620
	JP 2005538968	T2	20051222	JP 2004-516632	20030620
	CA 2433983	AA	20031229	CA 2003-2433983	20030627
	US 2004097734	A1	20040520	US 2003-608520	20030627
	ZA 2004009610	A	20050418	ZA 2004-9610	20041126
	NO 2005000428	A	20050125	NO 2005-428	20050125
PRAI	US 2002-393027P	P	20020629		
	WO 2003-EP6555	W	20030620		

OS MARPAT 140:77166
IT 640286-88-0P 640287-01-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcarbonylpiperazines and heteroarylcarbonylpiperazines for treating benign and malignant tumor diseases)
RN 640286-88-0 CAPLUS

CN Piperazine, 1-(3-methoxyphenyl)-4-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 640287-01-0 CAPLUS
CN Piperazine, 1-(3-hydroxyphenyl)-4-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:221717 CAPLUS
DN 108:221717
TI Preparation and testing of new aryl-substituted (N-piperidinyl)methyl- and (N-piperazinyl)methylazoles having antipsychotic properties
IN Van Wijngaarden, Ineke; Kruse, Cornelis G.; Van der Heyden, Johannes; Tulp, Martinus T. M.
PA Duphar International Research B. V., Neth.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 241053	A1	19871014	EP 1987-200296	19870224
	EP 241053	B1	19921111		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	NL 8600488	A	19870916	NL 1986-488	19860227
	DK 8700930	A	19870828	DK 1987-930	19870224
	ZA 8701335	A	19870930	ZA 1987-1335	19870224
	US 4772604	A	19880920	US 1987-18164	19870224
	IL 81669	A1	19901129	IL 1987-81669	19870224
	CA 1279645	A1	19910129	CA 1987-530424	19870224
	AT 82281	E	19921115	AT 1987-200296	19870224
	ES 2052545	T3	19940716	ES 1987-200296	19870224
	AU 8769247	A1	19870903	AU 1987-69247	19870225
	AU 585131	B2	19890608		
	JP 62205058	A2	19870909	JP 1987-40530	19870225
	JP 07098800	B4	19951025		

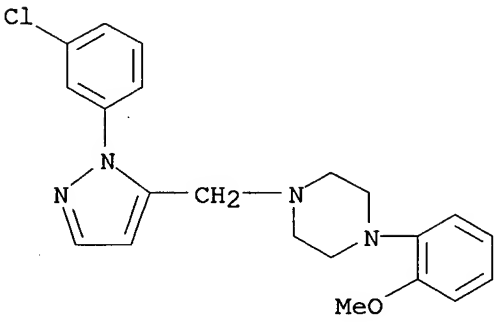
	US 4874770	A	19891017	US 1988-214310	19880701
PRAI	NL 1986-488	A	19860227		
	EP 1987-200296	A	19870224		
	US 1987-18164	A3	19870224		

OS MARPAT 108:221717

IT 114518-36-4P 114518-38-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)

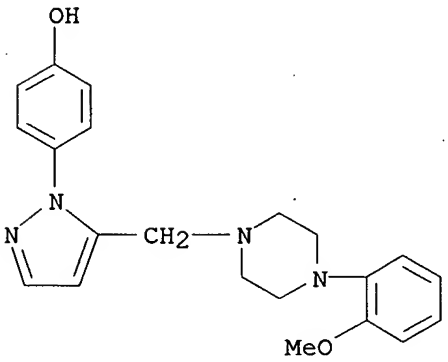
RN 114518-36-4 CAPLUS

CN Piperazine, 1-[[1-(3-chlorophenyl)-1H-pyrazol-5-yl]methyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 114518-38-6 CAPLUS

CN Phenol, 4-[5-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1974:449701 CAPLUS

DN 81:49701

TI Pyrazole derivatives

IN Hadamik, Harri; Schulte, Karl; Koppe, Volker; Poetsch, Eike

PA Merck Patent G.m.b.H.

SO Ger. Offen., 30 pp.
CODEN: GWXXBX

DT Patent

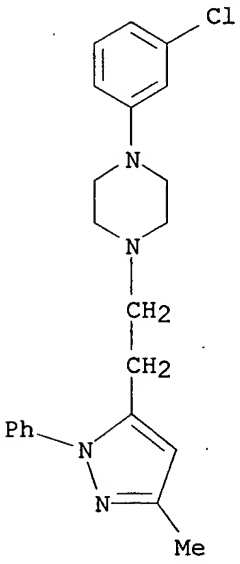
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2258033	A1	19740530	DE 1972-2258033	19721127
	JP 49082669	A2	19740808	JP 1973-129311	19731119
	ES 420898	A1	19760401	ES 1973-420898	19731127
PRAI	DE 1972-2258033	A	19721127		

IT 49654-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 49654-35-5 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[2-(3-methyl-1-phenyl-1H-pyrazol-5-yl)ethyl]-, diperchlorate (9CI) (CA INDEX NAME)

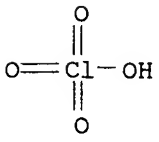
CM 1

CRN 49869-03-6
CMF C22 H25 Cl N4



CM 2

CRN 7601-90-3
CMF Cl H O4



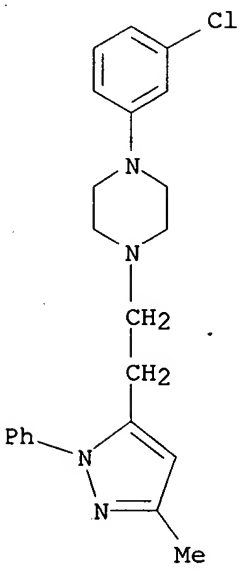
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1973:492277 CAPLUS
DN 79:92277
TI Arylpiperazines
IN Poetsch, Eike
PA Merck Patent G.m.b.H.
SO Ger. Offen., 38 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2201889	A1	19730719	DE 1972-2201889	19720115
	NL 7215334	A	19730717	NL 1972-15334	19721113
	SE 397530	B	19771107	SE 1972-15765	19721204
	ZA 7208625	A	19730829	ZA 1972-8625	19721205
	AU 7249852	A1	19740613	AU 1972-49852	19721208
	PL 83741	P	19760131	PL 1972-159971	19721229
	GB 1360959	A	19740724	GB 1973-435	19730103
	DK 134177	B	19760927	DK 1973-67	19730105
	US 3926999	A	19751216	US 1973-322184	19730109
	BE 793955	A1	19730712	BE 1973-126376	19730112
	DD 104080	C	19740220	DD 1973-168218	19730112
	HU 165959	P	19741228	HU 1973-ME1592	19730112
	AT 7300262	A	19750715	AT 1973-262	19730112
	AT 329062	B	19760426		
	JP 50004085	A2	19750116	JP 1973-6335	19730113
	FR 2168357	A1	19730831	FR 1973-1270	19730115
	CH 587270	A	19770429	CH 1973-526	19730115
PRAI	DE 1972-2201889	A	19720115		

IT 49654-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 49654-35-5 CAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[2-(3-methyl-1-phenyl-1H-pyrazol-5-yl)ethyl]-, diperchlorate (9CI) (CA INDEX NAME)

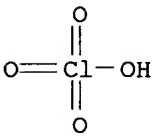
CM 1

CRN 49869-03-6
CMF C22 H25 Cl N4



CM 2

CRN 7601-90-3
CMF Cl H O4



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FULL ESTIMATED COST	66.01	245.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent